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| APPROVED  | O.G. FIG. |          |
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1/15

Effects of Compounds on  $^{125}\text{I}$ -GnRH-A Binding to hGnRH Receptors in HEK-293 Cell Membranes

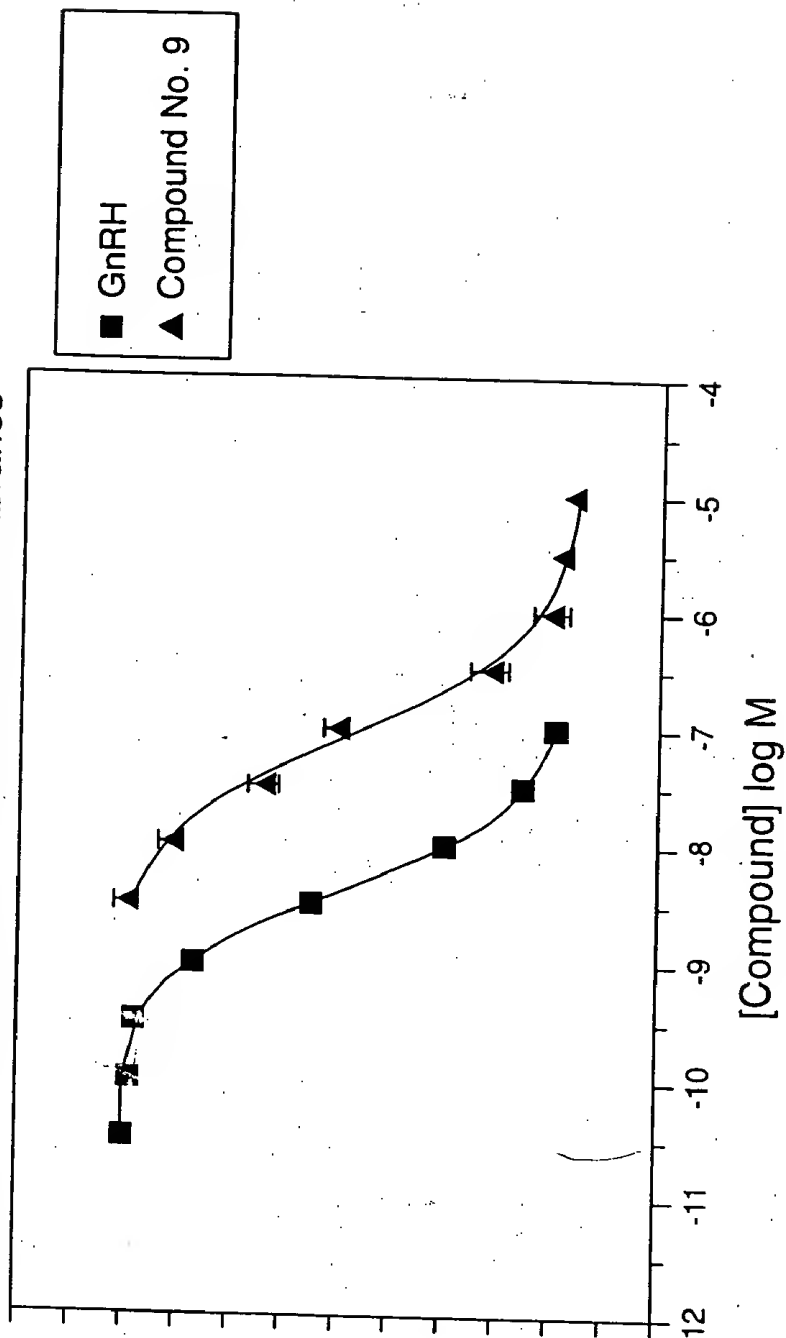


FIG. 1

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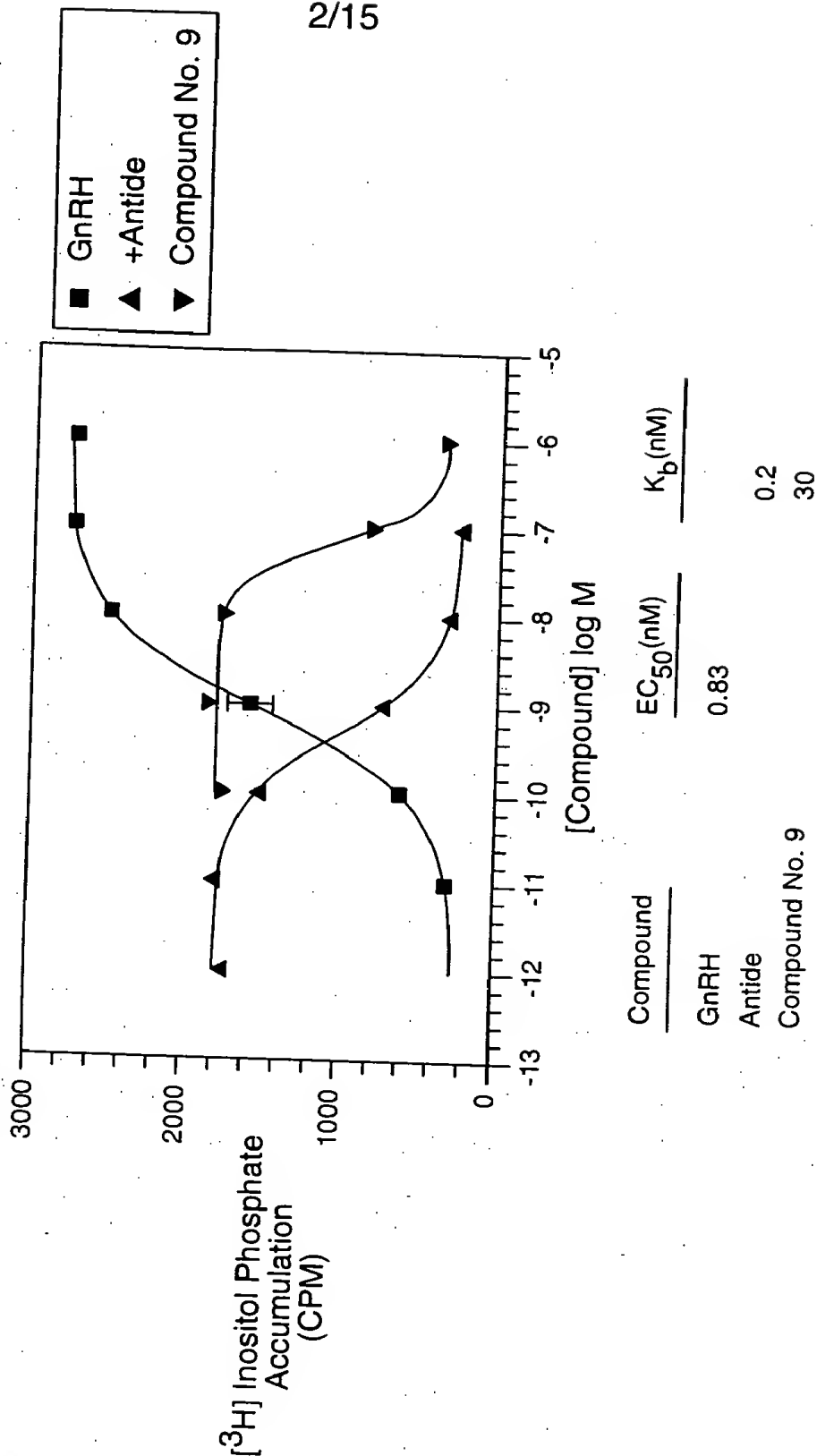
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| APPROVED  | O.G. FIG. |          |
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2/15

FIG. 2

Effects of Compounds on GnRH-stimulated (1 nM) total inositol phosphate accumulation in 293 cells expressing the hGnRH receptor



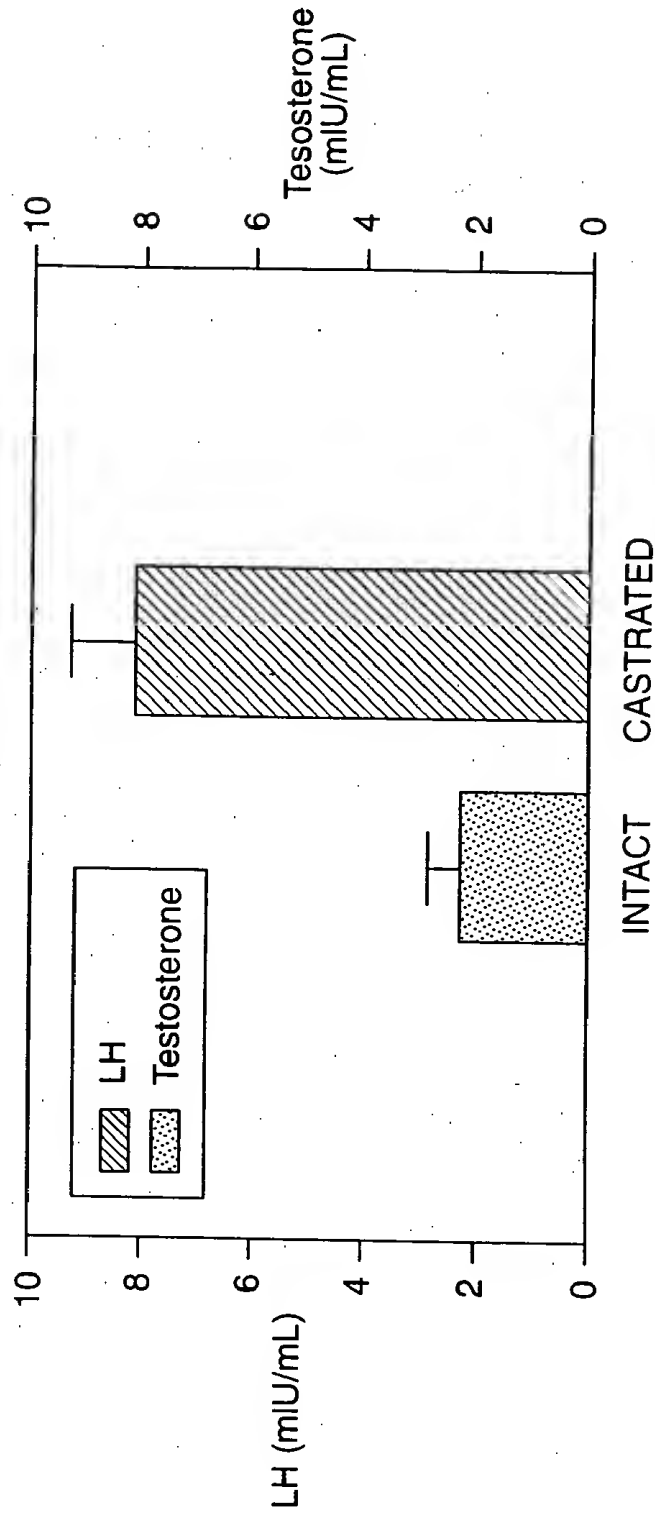
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| APPROVED  | O.G. FIG. |          |
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3/15

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FIG. 3

Characterization of a Castrated Rat Model  
used to Evaluate GnRH Antagonists

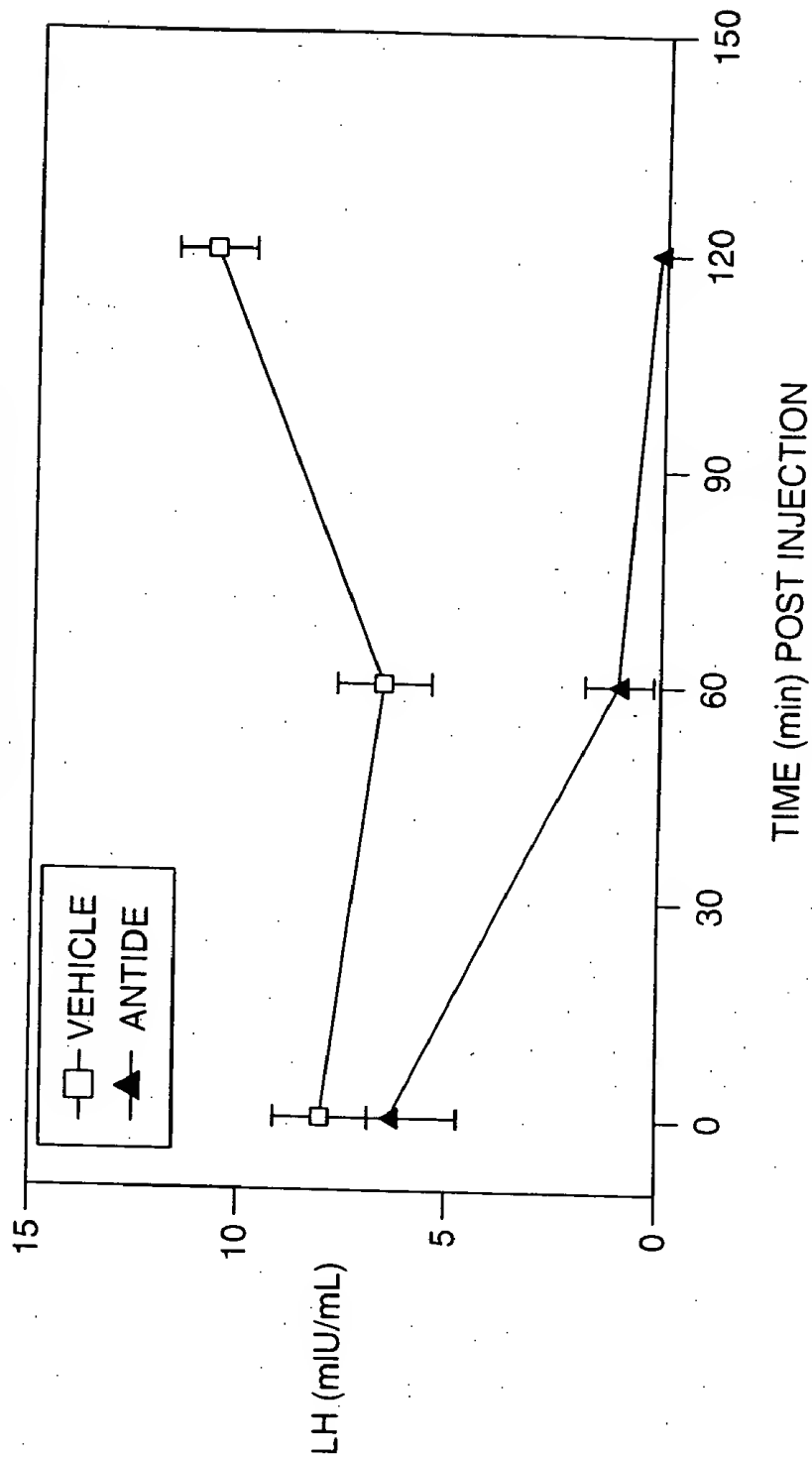


4/15

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FIG. 4A

Antide (1.0 $\mu$ g;IV) suppresses LH levels  
in the castrated rat model



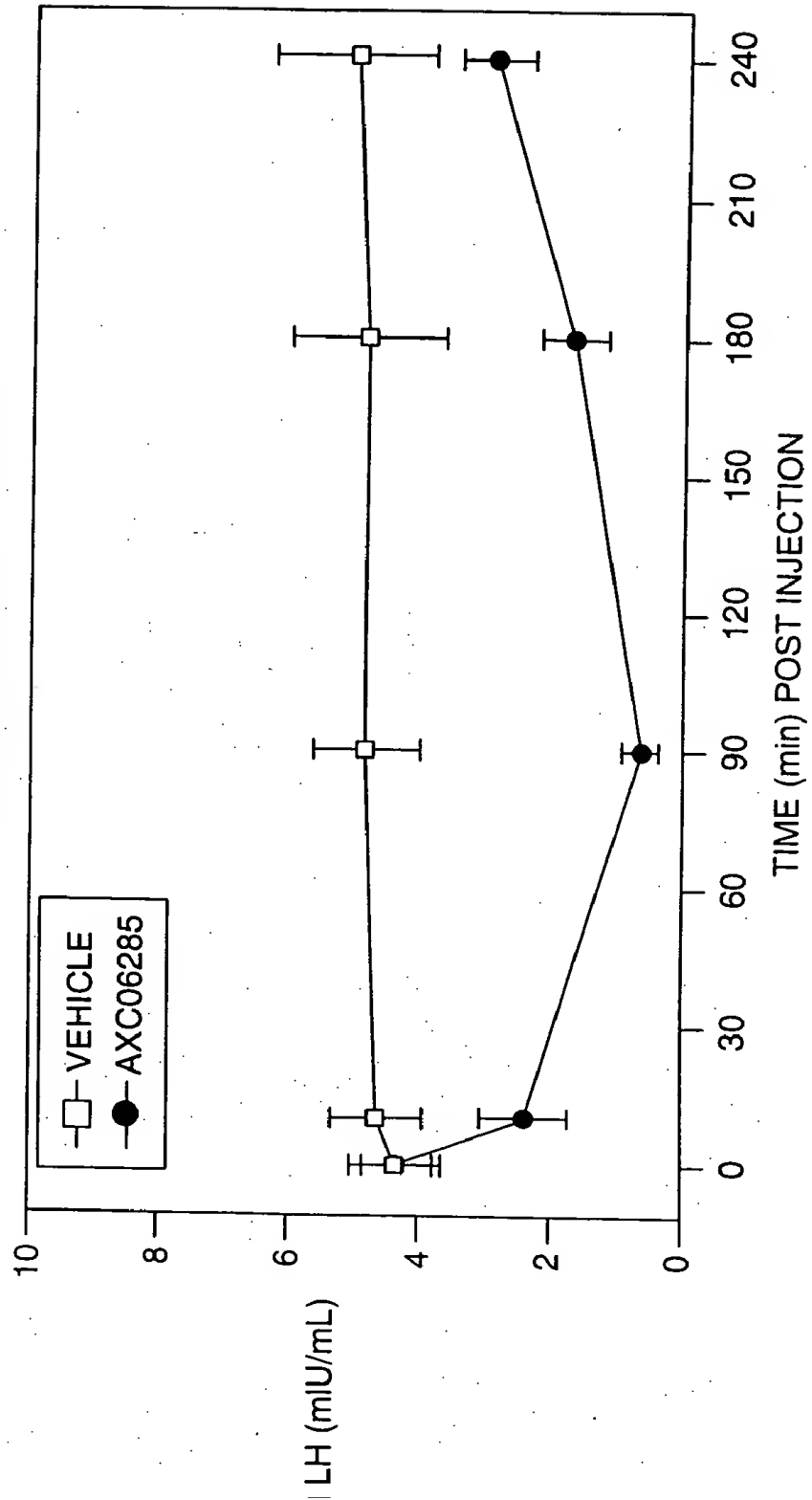
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| APPROVED  | O.G. FIG. |          |
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09/763216

5/15

FIG. 4B

Compound 11 (10mg/kg;IV) suppresses  
LH levels in the castrated rat model



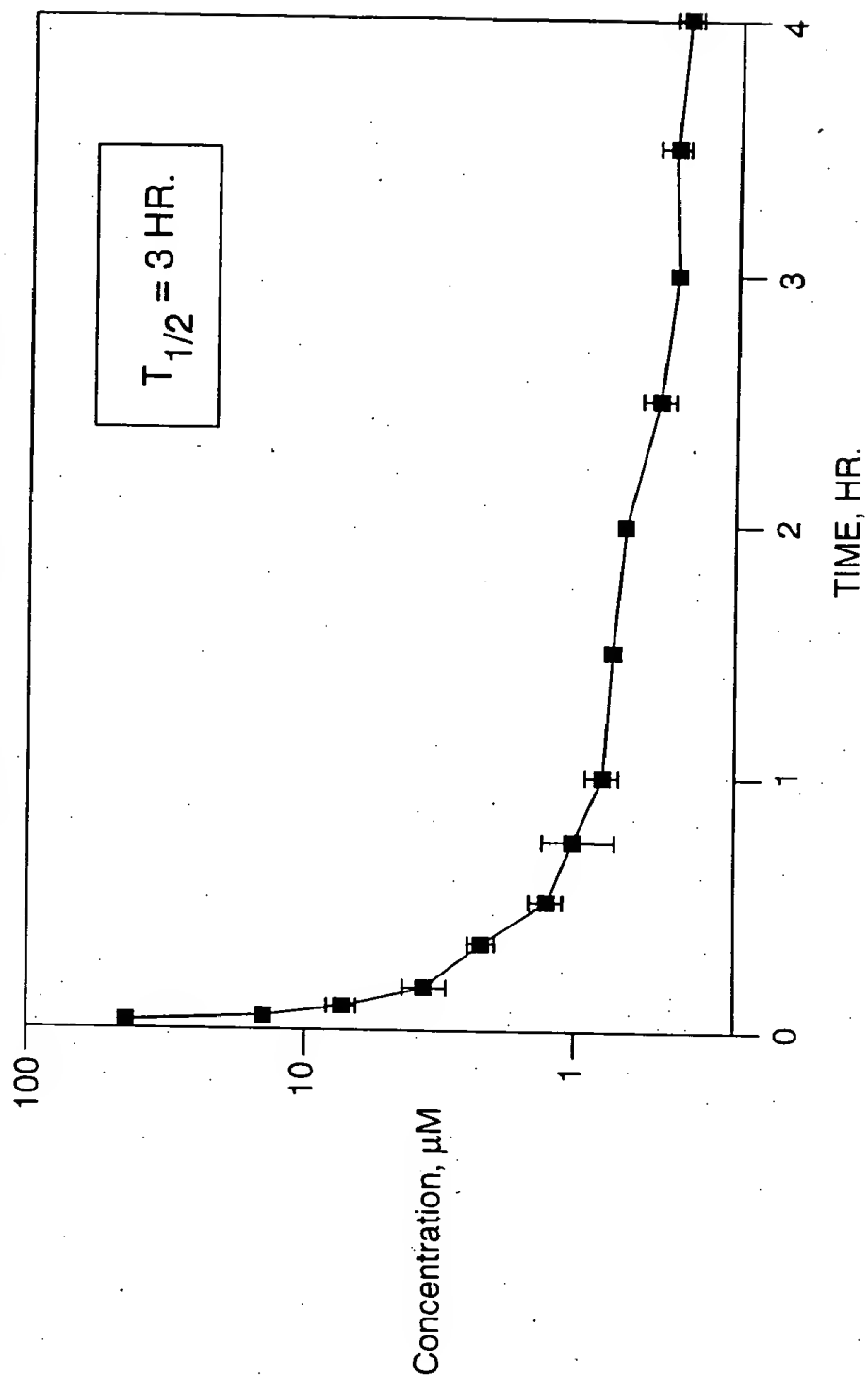
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| APPROVED  | O.G. FIG. |          |
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09/763216

6/15

FIG. 5

Compound 11 Dose - 10 mg/kg; n=3



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| APPROVED  | O.G. FIG. |          |
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09/763216

7/15

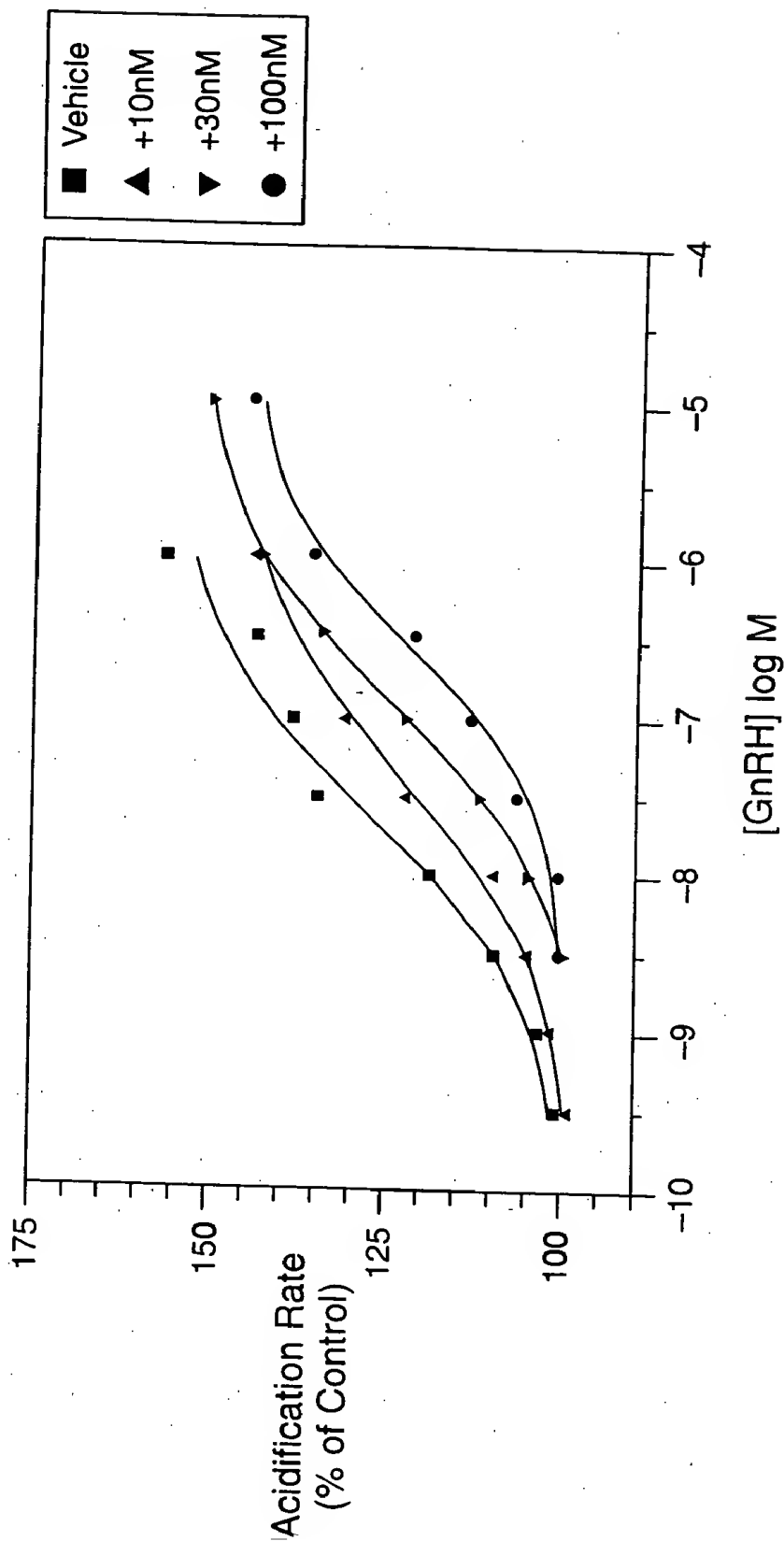


FIG. 6

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| APPROVED  | O.G. FIG. |          |
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09/763216

8/15

Characterization of a Castrated Rat Model  
used to Evaluate GnRH Antagonists

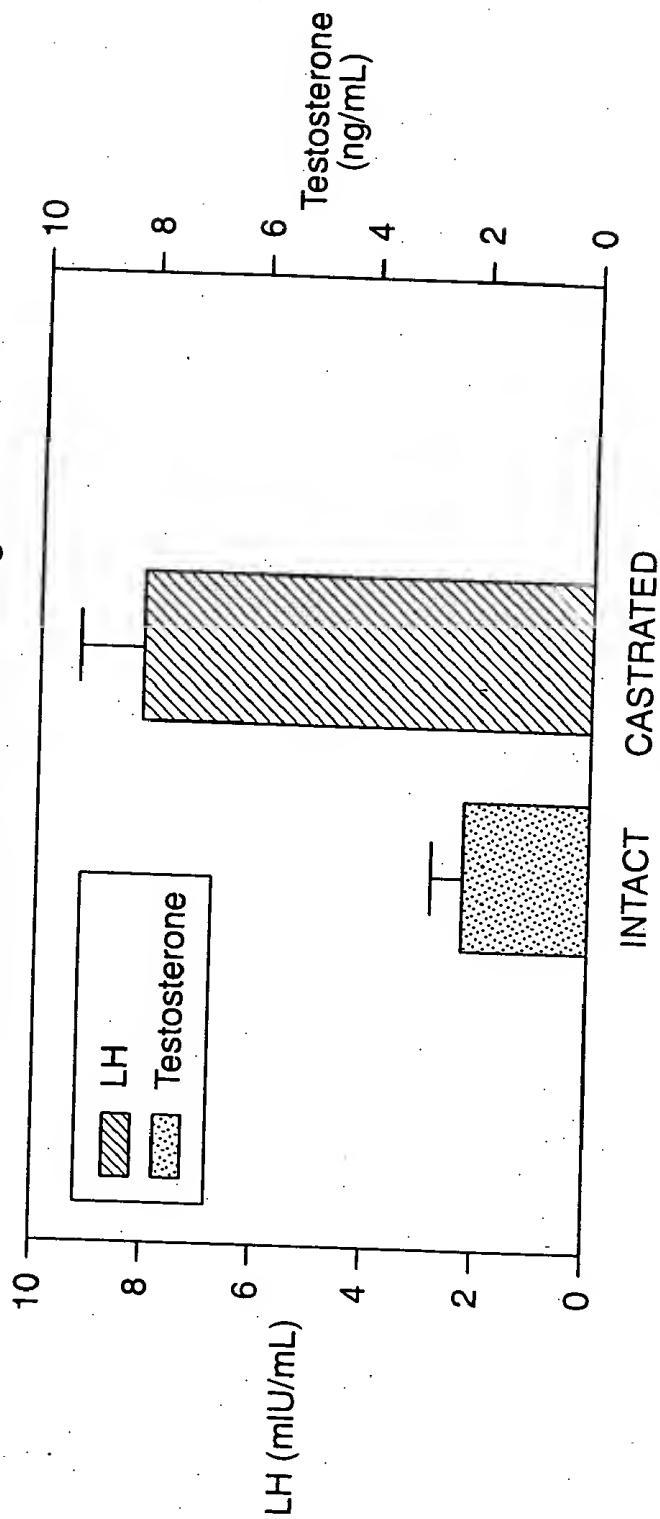


FIG. 7

FOO220" STE9260



9/15

Antide suppression of LH in  
castrated rats is dose-dependent

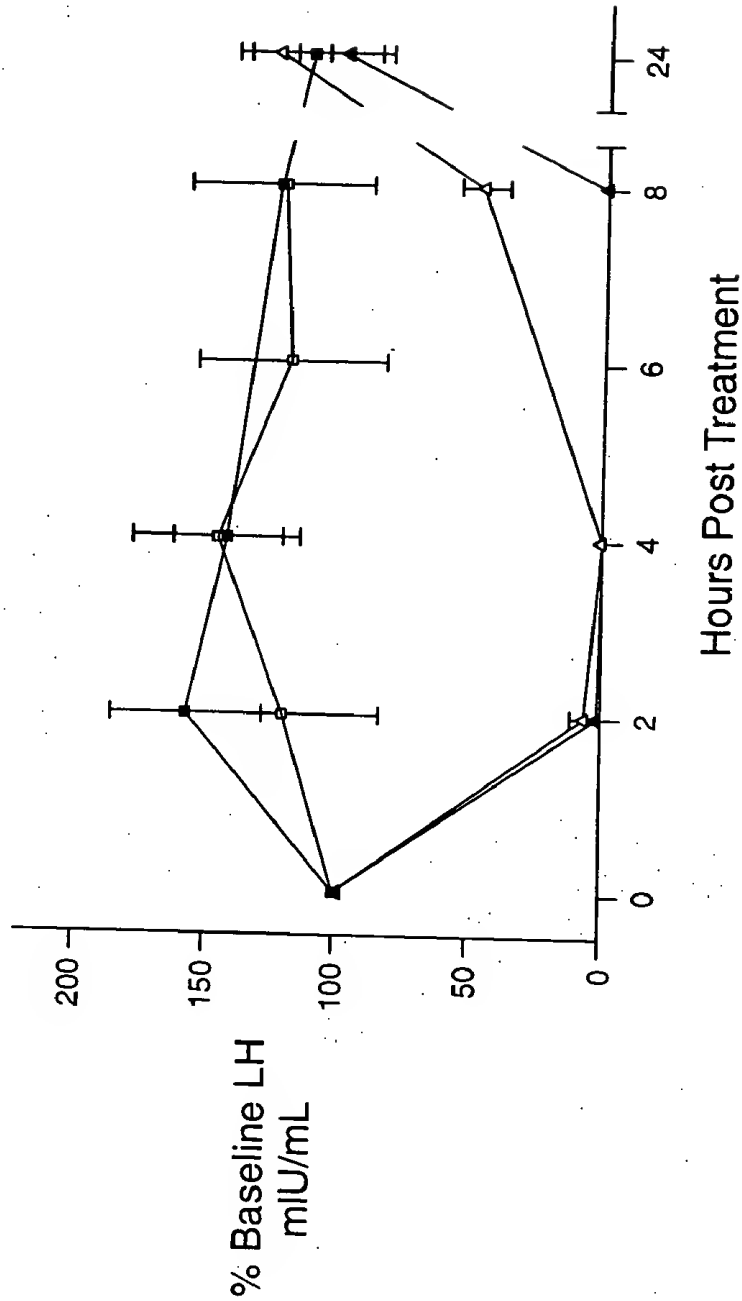
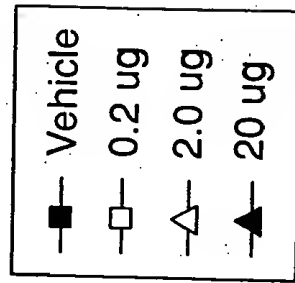
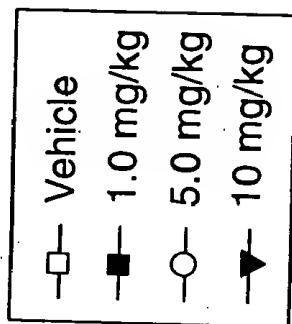


FIG. 8

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09/763216

10/15



No. 134 (iv) suppression of LH is dose-dependent

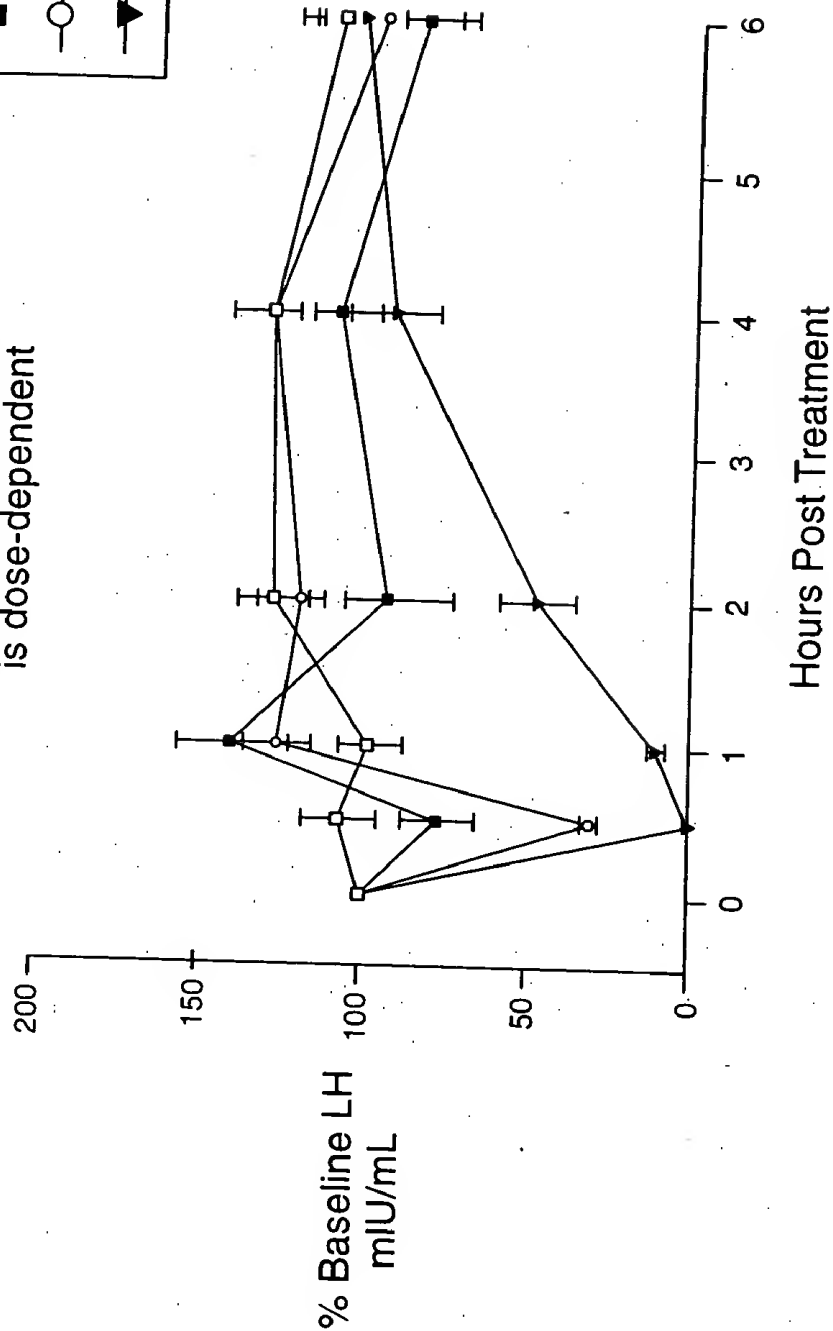


FIG. 9

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11/15

Oral delivery of No. 134  
suppresses LH in castrated rats

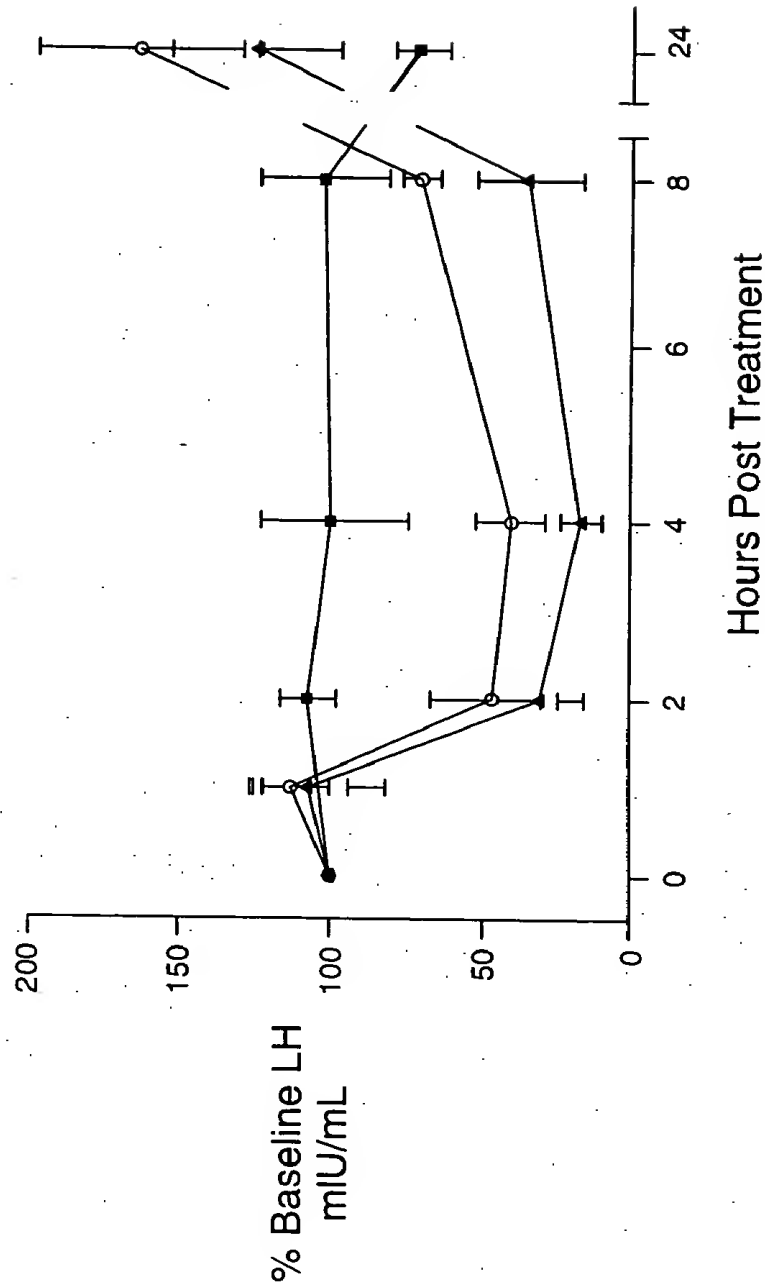
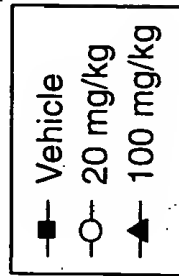


FIG. 10

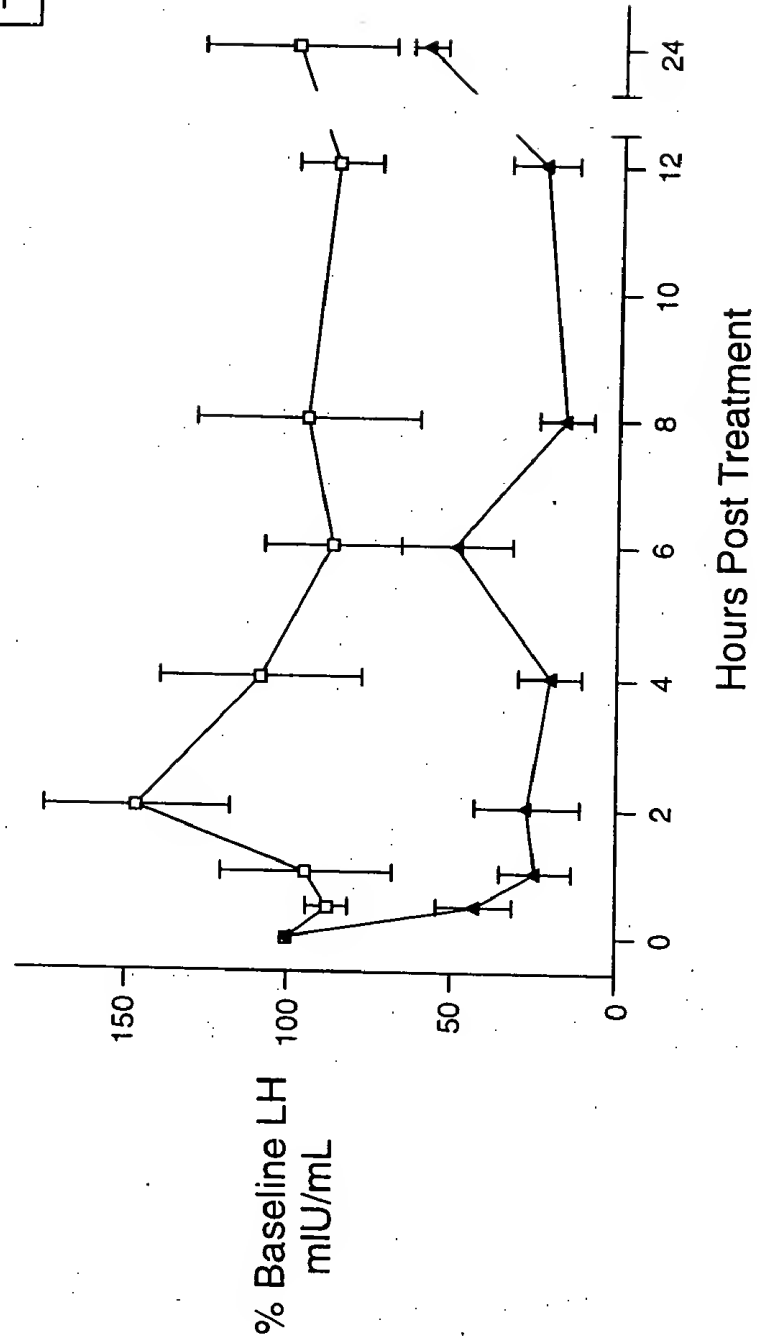
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| APPROVED  | O.G. FIG. |          |
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12/15

FIG. 11

IM delivery of No. 134 (20mg/kg)  
suppresses LH in CX rats > 12 hrs.



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| APPROVED  | O.G. FIG. |          |
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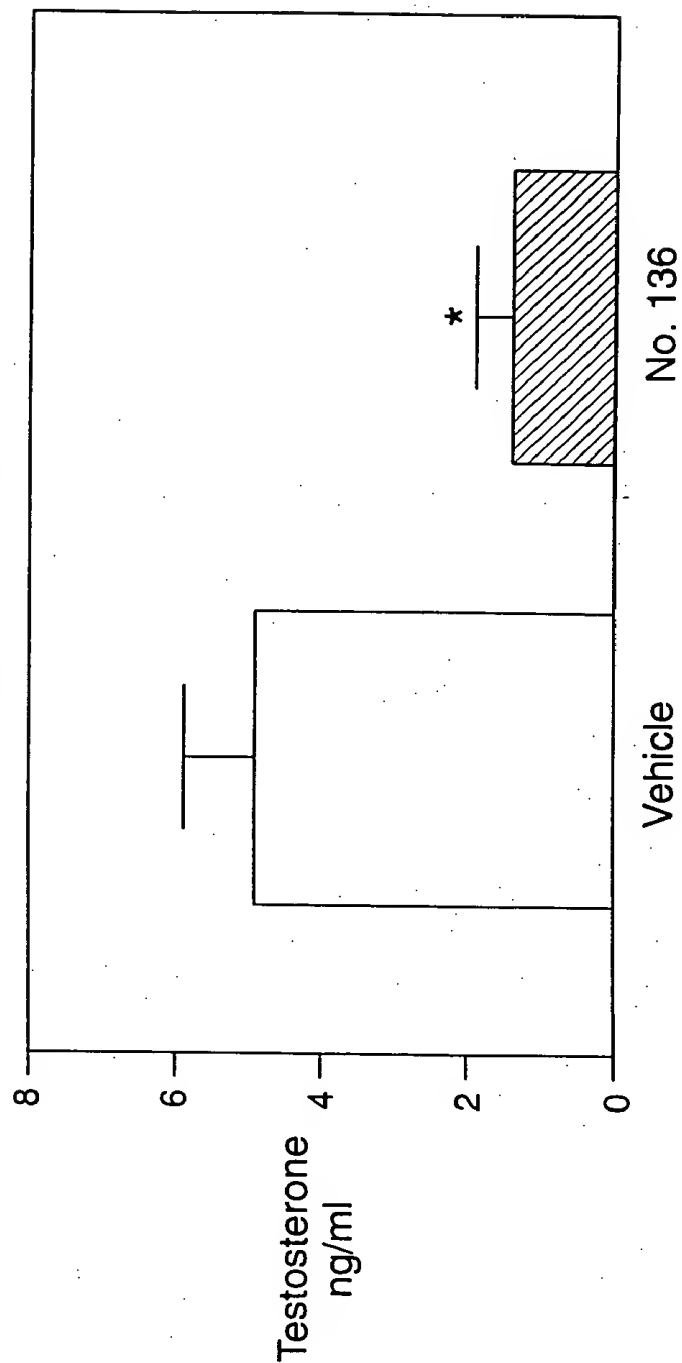
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13/15

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FIG. 12

No. 136 (20 mg/kg;IP) suppresses testosterone 6 hours post treatment



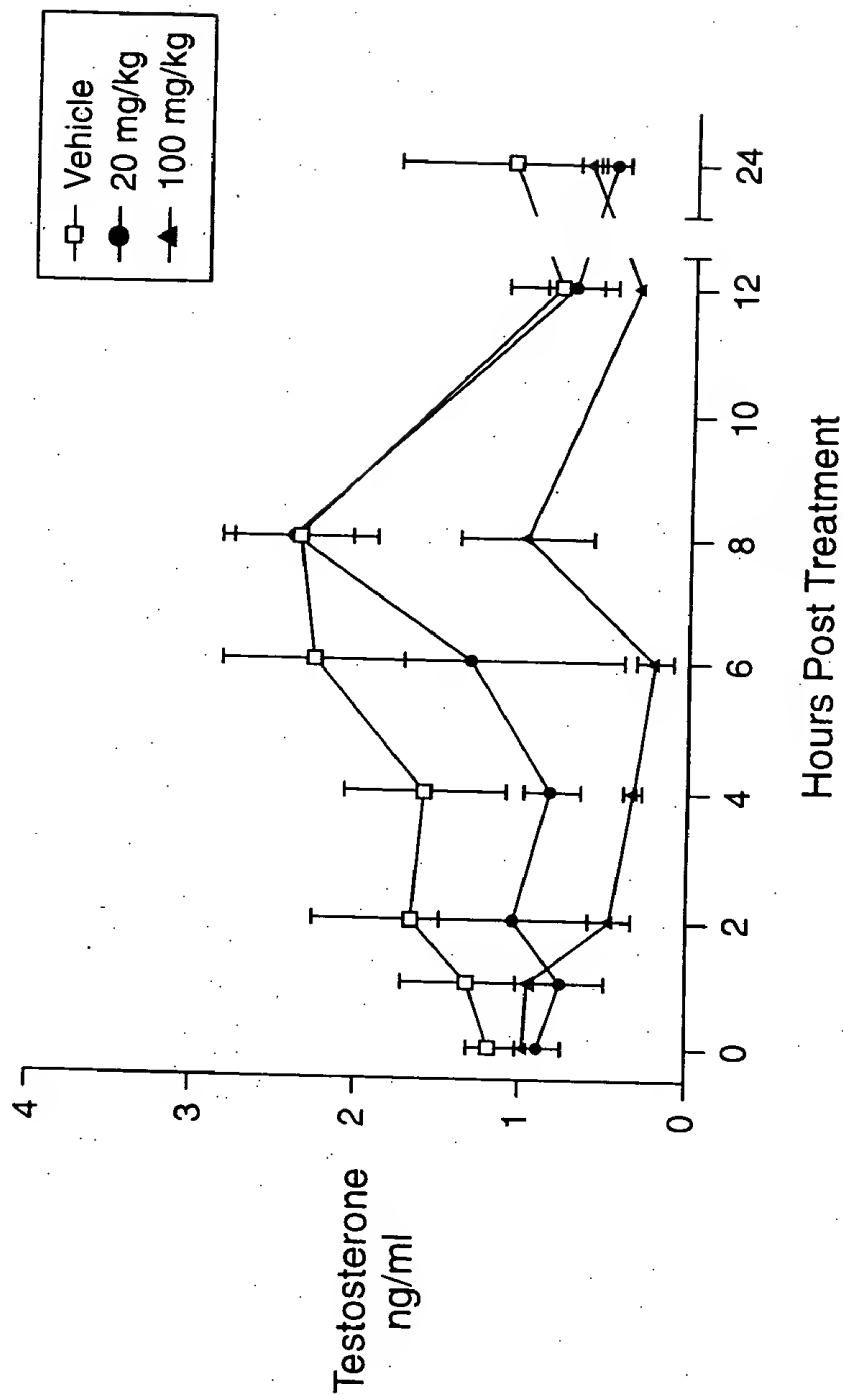
|           |           |          |
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| APPROVED  | O.G. FIG. |          |
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09/763216

14/15

FIG. 13

Effect of oral delivery of No. 134  
on testosterone in intact rats



|           |           |          |
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| APPROVED  | O.G. FIG. |          |
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FIG. 14

Daily dosing of No. 134 (100 mg/kg;im)  
suppresses testosterone in rats

